

wherein

R^1 and R^2 independently represent H, C_{1-4} alkyl, OR^{2b} or $N(R^{2c})R^{2d}$, or together form $-O-(CH_2)_2-O-$, $-(CH_2)_3-$, $-(CH_2)_4-$ or $-(CH_2)_5-$;

R^{2b} , R^{2c} and R^{2d} independently represent H or C_{1-6} alkyl;

R^3 represents H, C_{1-6} alkyl or, together with R^4 , represents C_{3-6} alkylene (which alkylene group is optionally interrupted by an O atom and/or is optionally substituted by one or more C_{1-3} alkyl groups);

R^4 represents H, C_{1-12} alkyl, C_{1-6} alkoxy (which latter two groups are both optionally substituted and/or terminated by one or more substituents selected from $-OH$, halo, cyano, nitro, C_{1-4} alkyl and/or C_{1-4} alkoxy), $-(CH_2)_q$ -aryl, $-(CH_2)_q$ -oxyaryl, $-(CH_2)_q$ -Het¹ (which latter three groups are optionally substituted (at the $-(CH_2)_q$ part and/or the aryl/Het¹ part) by one or more substituents selected from $-OH$, halo, cyano, nitro, $-C(O)R^{10}$, $-C(O)OR^{11}$, $-N(H)S(O)_2R^{11a}$, C_{1-6} alkyl and/or C_{1-6} alkoxy), $-(CH_2)_qN(H)C(O)R^8$, $-(CH_2)_qS(O)_2R^8$, $-(CH_2)_qC(O)R^8$,

$-(CH_2)_qC(O)OR^8$, $-(CH_2)_qC(O)N(R^9)R^8$ or, together with R^3 , represents $C_{3.6}$ alkylene (which alkylene group is optionally interrupted by an O atom and/or is optionally substituted by one or more $C_{1.3}$ alkyl groups);

q represents 0, 1, 2, 3, 4, 5 or 6;

R^8 represents H, $C_{1.6}$ alkyl, aryl (which latter group is optionally substituted and/or terminated by one or more substituents selected from -OH, halo, cyano, nitro, $-C(O)R^{10}$, $-C(O)OR^{11}$, $-N(H)S(O)_2R^{11a}$, $C_{1.6}$ alkyl and/or $C_{1.6}$ alkoxy) or, together with R^9 , represents $C_{3.7}$ alkylene;

R^9 represents H, $C_{1.4}$ alkyl or, together with R^8 , represents $C_{3.7}$ alkylene;

Het¹ represents a five to twelve-membered heterocyclic ring containing one or more heteroatoms selected from oxygen, nitrogen and/or sulfur, and which also optionally includes one or more =O substituents;

R^{41} , R^{42} , R^{43} , R^{44} , R^{45} or R^{46} independently represent H or $C_{1.3}$ alkyl;

R^5 represents H, halo, $C_{1.3}$ alkyl, $-OR^{12}$, $-N(R^{13})R^{12}$ or, together with R^6 , represents =O;

R^6 represents H, $C_{1.4}$ alkyl or, together with R^5 , represents =O;

R^{12} represents H, $C_{1.6}$ alkyl, $-S(O)_2-C_{1.4}$ -alkyl, $-C(O)R^{14}$, $-C(O)OR^{14}$, $-C(O)N(R^{15})R^{15a}$ or aryl (which latter group is optionally substituted and/or

terminated by one or more substituents selected from -OH, halo, cyano, nitro, -C(O)R¹⁰, -C(O)OR¹¹, -N(H)S(O)₂R^{11a}, C₁₋₆ alkyl and/or C₁₋₆ alkoxy);

R¹³ represents H or C₁₋₄ alkyl;

R¹⁴ represents H or C₁₋₆ alkyl;

R¹⁵ and R^{15a} independently represent H or C₁₋₄ alkyl, or together represent C₃₋₆ alkylene, optionally interrupted by an O atom;

A represents a single bond, C₁₋₆ alkylene, -N(R¹⁶)(CH₂)_r- or -O(CH₂)_r- (in which two latter groups, the -(CH₂)_r- group is attached to the bispidine nitrogen atom);

B represents a single bond, C₁₋₄ alkylene, -(CH₂)_nN(R¹⁷)-, -(CH₂)_nS(O)_p-, -(CH₂)_nO- (in which three latter groups, the -(CH₂)_n- group is attached to the carbon atom bearing R⁵ and R⁶), -C(O)N(R¹⁷)- (in which latter group, the -C(O)- group is attached to the carbon atom bearing R⁵ and R⁶), -N(R¹⁷)C(O)O(CH₂)_n-, -N(R¹⁷)(CH₂)_n- (in which two latter groups, the N(R¹⁷) group is attached to the carbon atom bearing R⁵ and R⁶) or -(CH₂)_mC(H)(OH)(CH₂)_n- (in which latter group, the -(CH₂)_m- group is attached to the carbon atom bearing R⁵ and R⁶);

m represents 1, 2 or 3;

n and r independently represent 0, 1, 2, 3 or 4;

p represents 0, 1 or 2;

R¹⁶ and R¹⁷ independently represent H or C₁₋₄ alkyl;

R⁷ represents C₁₋₆ alkyl, aryl or Het², all of which groups are optionally substituted and/or terminated (as appropriate) by one or more substituents selected from -OH, cyano, halo, amino, nitro, Het³, -C(O)R¹⁰, C(O)OR¹¹, C₁₋₆ alkyl, C₁₋₆ alkoxy, -N(H)S(O)₂R¹⁸, -S(O)₂R¹⁹, -OS(O)₂R²⁰, -N(H)C(O)N(H)R²¹, -C(O)N(H)R²² and/or aryl (which latter group is optionally substituted by one or more cyano groups);

Het² and Het³ independently represent a five to twelve-membered heterocyclic group containing one or more heteroatoms selected from oxygen, nitrogen and/or sulfur, and which also optionally includes one or more =O substituents;

R¹⁸, R¹⁹ and R²⁰ independently represent C₁₋₆ alkyl;

R²¹ and R²² independently represent H or C₁₋₆ alkyl (optionally terminated by cyano); and

R¹⁰ and R¹¹ independently represent, at each individual occurrence, H or C₁₋₆ alkyl;

R^{11a} represents, at each individual occurrence, C₁₋₆ alkyl;

or a salt or solvate thereof;

provided that:

(a) when A and B are both single bonds and R⁷ is optionally substituted aryl, then R⁵ and R⁶ do not both represent H;

(b) when A represents a single bond, then R⁵ and R⁶ do not together represent =O; and

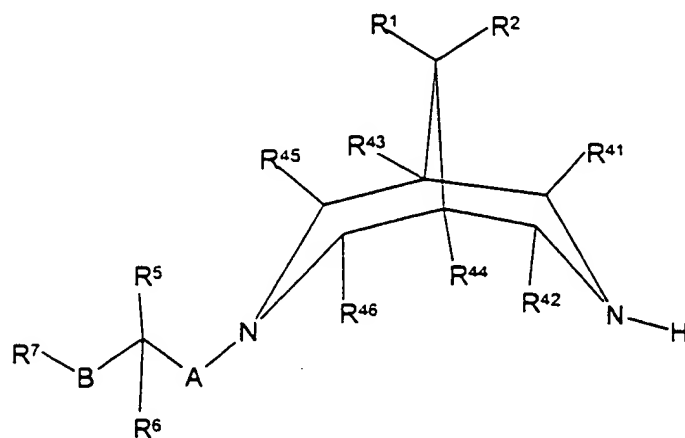
(c) when R^5 represents $-OR^{12}$ or $-N(R^{13})R^{12}$, then:-

(i) A does not represent $\cdot\text{N}(\text{R}^{16})(\text{CH}_2)_r\cdot$ or $\cdot\text{O}(\text{CH}_2)_r\cdot$; and/or

(ii) n does not represent 0 when B represents $-(CH_2)_nN(R^{17})-$, $-(CH_2)_nS(O)_p-$ or $-(CH_2)_nO-$.

25. (Amended) A process for the preparation of a compound of formula I as defined in Claim 1 which comprises:

(a) for compounds of formula I in which R³ is H, reaction of a compound of formula II,



11

wherein R^1 , R^2 , R^5 , R^6 , R^7 , R^{41} , R^{42} , R^{43} , R^{44} , R^{45} , R^{46} , A and B are as defined in Claim 1 with a compound of formula III,



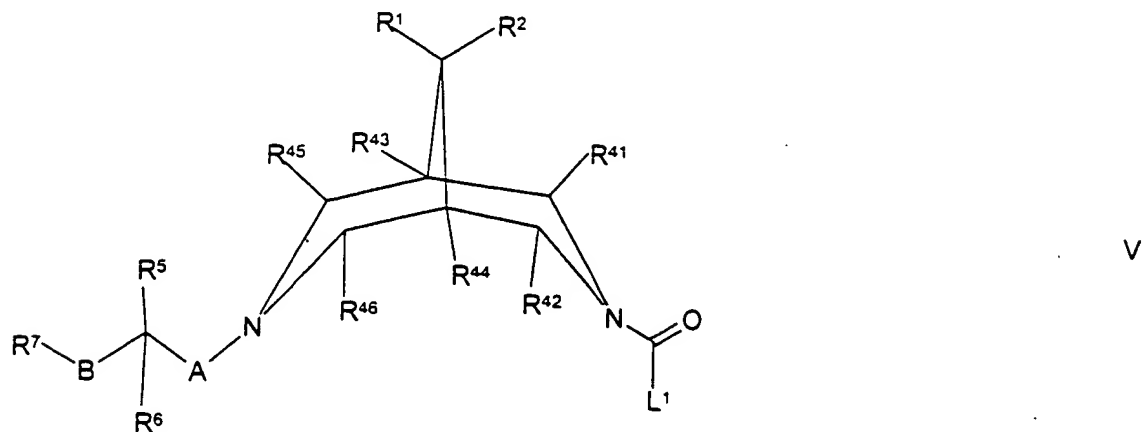
wherein R^4 is as defined in Claim 1;

(b) reaction of a compound of formula II, as defined above, with a carbonic acid derivative of formula IV,



wherein L^1 represents a leaving group and R^3 and R^4 are as defined in Claim 1;

(c) reaction of a compound of formula V,

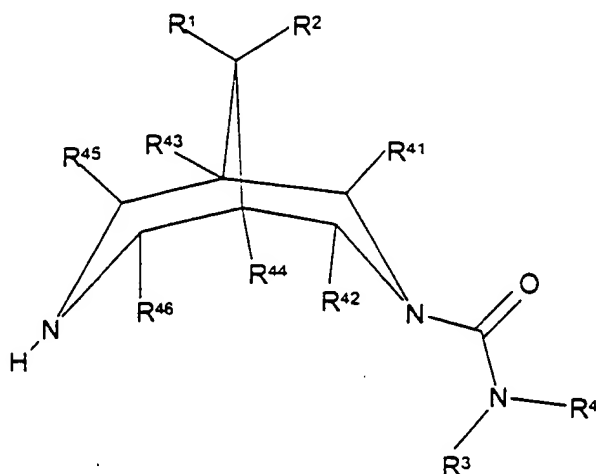


wherein and L^1 is as defined above and $R^1, R^2, R^5, R^6, R^7, R^{41}, R^{42}, R^{43}, R^{44}, R^{45}, R^{46}$, A and B are as defined in Claim 1, with a compound of formula VA,



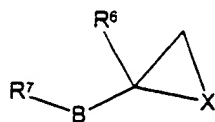
wherein R^3 and R^4 are as defined in Claim 1;

(d) for compounds of formula I in which A represents CH_2 and R^5 represents $-OH$ or $-N(H)R^{12}$, reaction of a compound of formula VI,



VI

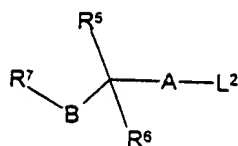
wherein $R^1, R^2, R^3, R^4, R^{41}, R^{42}, R^{43}, R^{44}, R^{45}$ and R^{46} are as defined in Claim 1, with a compound of formula VII,



VII

wherein X represents O or $N(R^{12})$ and R^6, R^7, R^{12} and B are as defined in Claim 1;

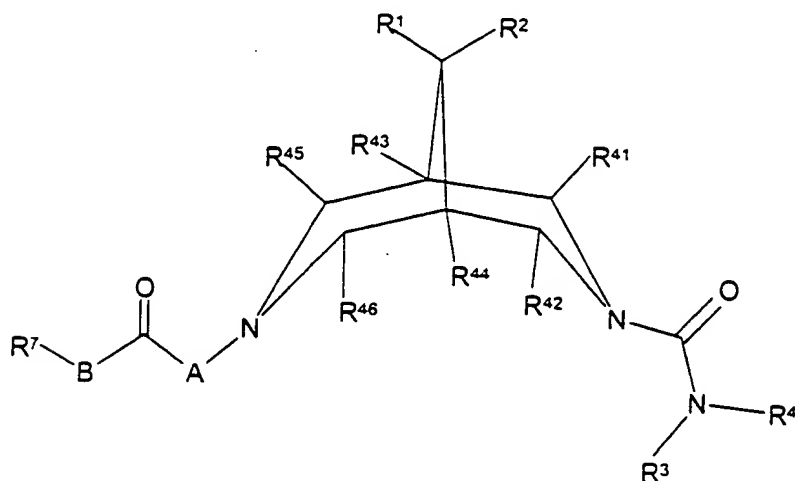
(e) reaction of a compound of formula VI, as defined above, with a compound of formula VIII,



VIII

C² wherein L² represents a leaving group and R⁵, R⁶, R⁷, A and B are as defined in Claim 1;

(f) for compounds of formula I in which R⁵ represents H or OH and R⁶ represents H, reduction of a compound of formula IX,

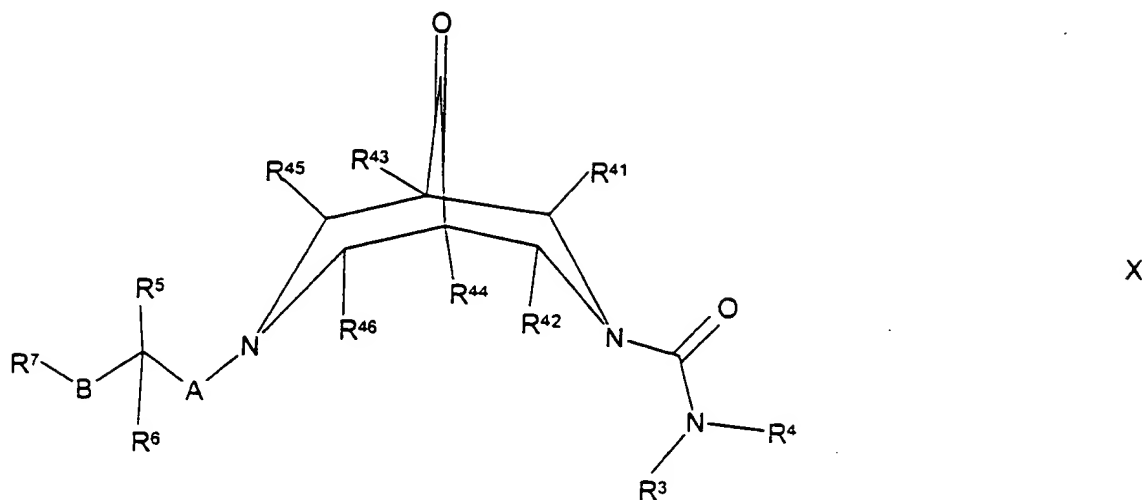


IX

wherein R¹, R², R³, R⁴, R⁷, R⁴¹, R⁴², R⁴³, R⁴⁴, R⁴⁵, R⁴⁶, A and B are as defined in Claim 1;

(g) for compounds of formula I in which one of R¹ and R² represents H or OH and

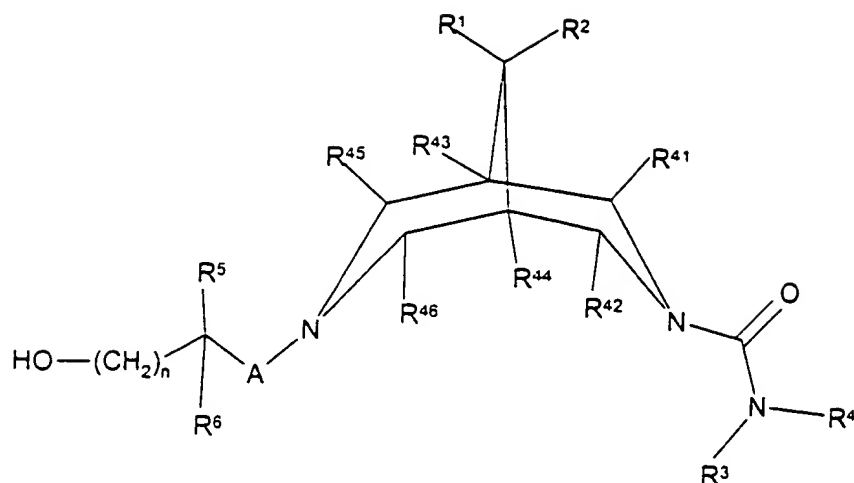
the other represents H, reduction of a corresponding compound of formula X,



wherein R³, R⁴, R⁵, R⁶, R⁷, R⁴¹, R⁴², R⁴³, R⁴⁴, R⁴⁵, R⁴⁶, A and B are as defined in Claim 1;

(h) for compounds of formula I in which R¹ and R² together represent -O(CH₂)₂O-, reaction of a corresponding compound of formula X as defined above with ethane-1,2-diol;

(i) for compounds of formula I in which B represents -(CH₂)_nO-, reaction of a compound of formula XI,



XI

C2

wherein R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , R^{41} , R^{42} , R^{43} , R^{44} , R^{45} , R^{46} , A and n are as defined in Claim 1, with a compound of formula XIA,

R^7OH

XIA

in which R^7 is as defined in Claim 1;

(j) for compounds of formula I which are bispidine-nitrogen N-oxide derivatives, oxidation of the corresponding bispidine nitrogen of a corresponding compound of formula I;

(k) for compounds of formula I which are C_{1-4} alkyl quaternary ammonium salt derivatives, in which the alkyl group is attached to a bispidine nitrogen, reaction, at the bispidine nitrogen, of a corresponding compound of formula I with a

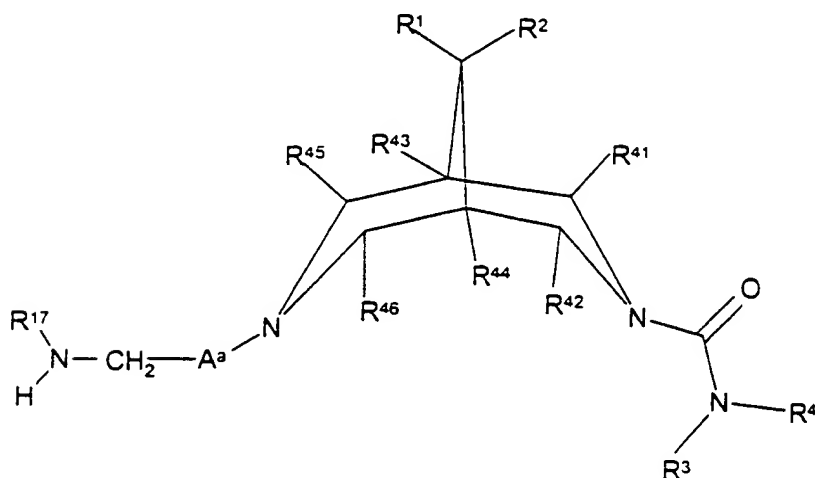
compound of formula XII,



XII

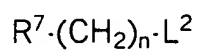
wherein R^b represents C_{1-4} alkyl and L^3 is a leaving group;

(1) for compounds of formula I in which R^5 and R^6 represent H, A represents C_{1-6} alkylene and B represents $-N(R^{17})(CH_2)_n-$, reaction of a compound of formula XIII,



XIII

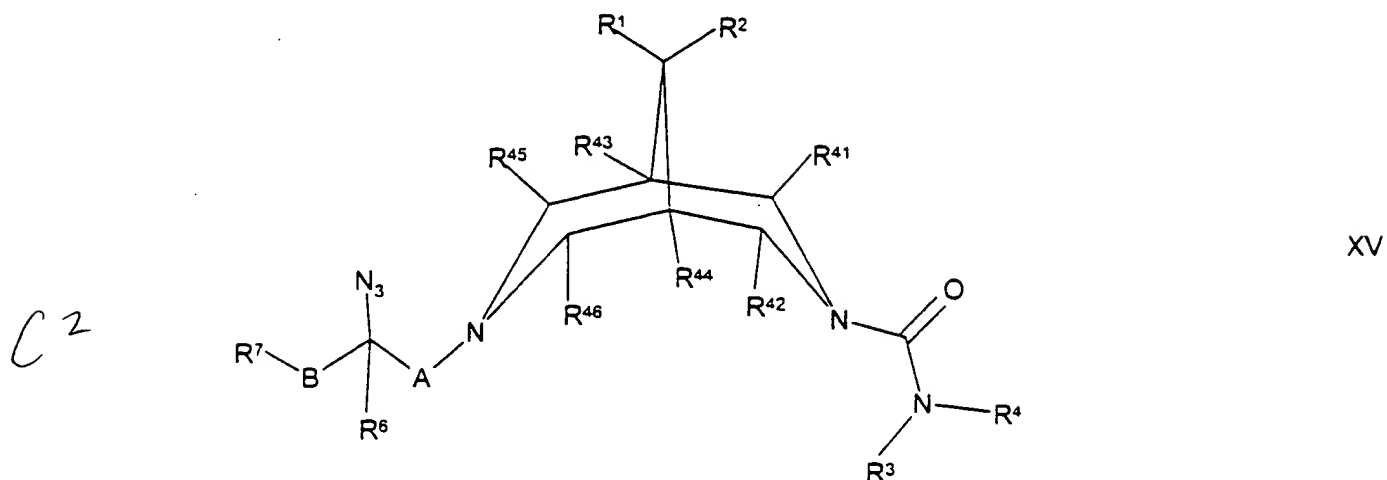
wherein A^a represents C_{1-6} alkylene and $R^1, R^2, R^3, R^4, R^{41}, R^{42}, R^{43}, R^{44}, R^{45}, R^{46}$ and R^{17} are as defined in Claim 1 with a compound of formula XIV,



XIV

wherein L^2 is as defined above and R^7 and n are as defined in Claim 1;

(m) for compounds of formula I in which R^5 represents $\cdot\text{NH}_2$, reduction of a corresponding compound of formula XV,



wherein R^1 , R^2 , R^3 , R^4 , R^6 , R^7 , R^{41} , R^{42} , R^{43} , R^{44} , R^{45} , R^{46} , A and B are as defined in Claim 1;

(n) for compounds of formula I in which R^5 represents $\cdot\text{N}(\text{R}^{13})\text{C}(\text{O})\text{NH}(\text{R}^{15})$, reaction of a corresponding compound of formula I in which R^5 represents $\cdot\text{N}(\text{R}^{13})\text{H}$ with a compound of formula XVI,



wherein R^{15} is as defined in Claim 1;

(o) for compounds of formula I in which R^5 represents $\cdot\text{N}(\text{R}^{13})\text{C}(\text{O})\text{R}^{14}$, reaction of a corresponding compound of formula I in which R^5 represents $\cdot\text{N}(\text{R}^{13})\text{H}$ with a

compound of formula XVII,



wherein R^x represents a suitable leaving group and R^{14} is as defined in Claim 1;

(p) for compounds of formula I in which R^5 represents $-\text{N}(\text{H})\text{R}^{12}$, wherein R^{12} is as defined in Claim 1 provided that it does not represent H, reaction of a corresponding compound of formula I, in which R^5 represents $-\text{NH}_2$ with a compound of formula XVIII,



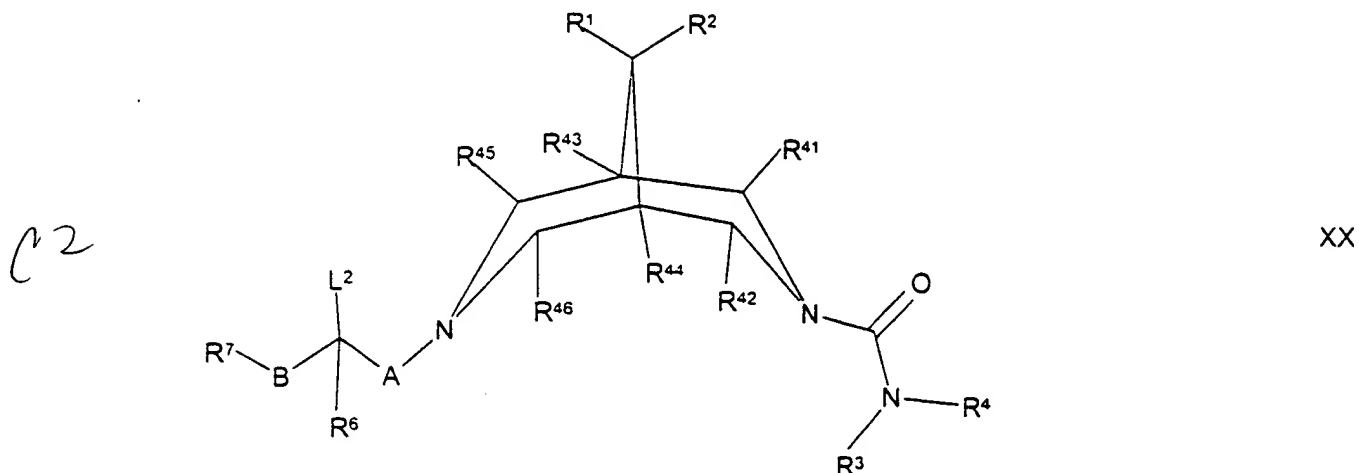
wherein R^{12a} represents R^{12} as defined in Claim 1 provided that it does not represent H and L^1 is as defined above;

(q) for compounds of formula I in which R^5 represents $-\text{OR}^{12}$ in which R^{12} represents $\text{C}_{1.6}$ alkyl or optionally substituted aryl, reaction of a corresponding compound of formula I in which R^5 represents $-\text{OH}$ with a compound of formula XIX,



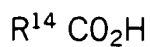
wherein R^{12a} represents $\text{C}_{1.6}$ alkyl or optionally substituted aryl;

(r) for compounds of formula I in which R^5 represents $-OR^{12}$, in which R^{12} represents C_{1-6} alkyl or optionally substituted aryl, reaction of a compound of formula XX,



wherein L^2 is as defined above and R^1 , R^2 , R^3 , R^4 , R^6 , R^7 , R^{41} , R^{42} , R^{43} , R^{44} , R^{45} , R^{46} , A and B are as defined in Claim 1 with a compound of formula XIX as defined above;

(s) for compounds of formula I in which R^5 represents OR^{12} and R^{12} represents $C(O)R^{14}$, reaction of a corresponding compound of formula I in which R^5 represents OH with a compound of formula XXI,

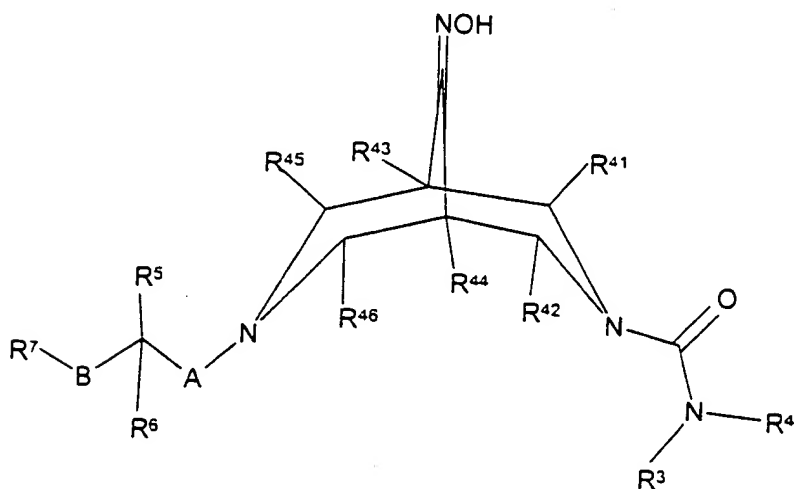


(t) for compounds of formula I in which R⁵ represents halo, substitution of a corresponding compound of formula I in which R⁵ represents -OH, using an appropriate halogenating agent;

(u) for compounds of formula I in which R³ and/or R⁴ as appropriate represent alkyl groups, alkylation of a corresponding compound of formula I, in which R³ and/or R⁴ (as appropriate) represent H;

C² (v) conversion of one R⁴ group to another;

(w) for compounds of formula I in which one of R² and R³ represents —NH₂ and the other represents H, reduction of a compound of formula XXIA,



XXIA

wherein R³, R⁴, R⁵, R⁶, R⁷, R⁴¹, R⁴², R⁴³, R⁴⁴, R⁴⁵, R⁴⁶, A and B are as defined in

Claim 1;

(x) for compounds of formula I in which one or both of R^1 and R^2 represent $-N(R^{2c})R^{2d}$ in which one or both of R^{2c} and R^{2d} represents C_{1-6} alkyl, alkylation of a corresponding compound of formula I in which R^1 and/or R^2 represent $-N(R^{2c})R^{2d}$ (as appropriate) in which R^{2c} and/or R^{2d} (as appropriate) represent H, using a compound of formula XXIB,



XXIB

02 wherein R^{2e} represents C_{1-6} alkyl and L^1 is as defined above; or

(y) conversion of one substituent on R^7 to another.

26. (Amended) A compound of formula II, as defined in Claim 25, provided that R^7 does not represent optionally substituted phenyl.

27. (Amended) A compound of formula V, as defined in Claim 25, provided that R^7 does not represent optionally substituted phenyl.

28. (Amended) A compound of formula X as defined in Claim 25.

29. (Amended) A compound of formula XI as defined in Claim 25.

30. (Amended) A compound of formula XIII, as defined in Claim 25.

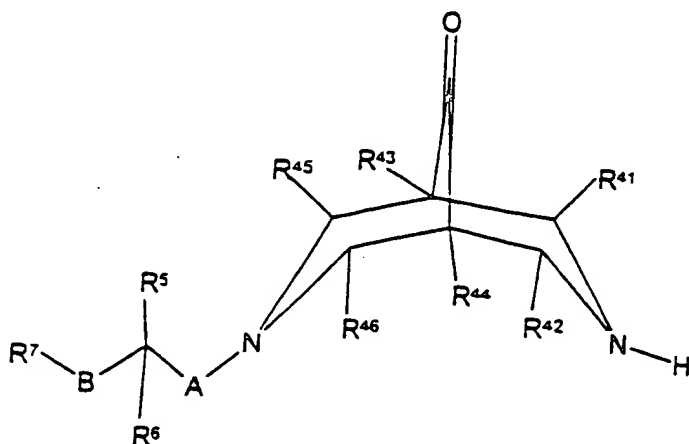
C²

31. (Amended) A compound of formula XV, as defined in Claim 25.

32. (Amended) A compound of formula XX, as defined in Claim 25.

33. (Twice Amended) A compound of formula XXIII,

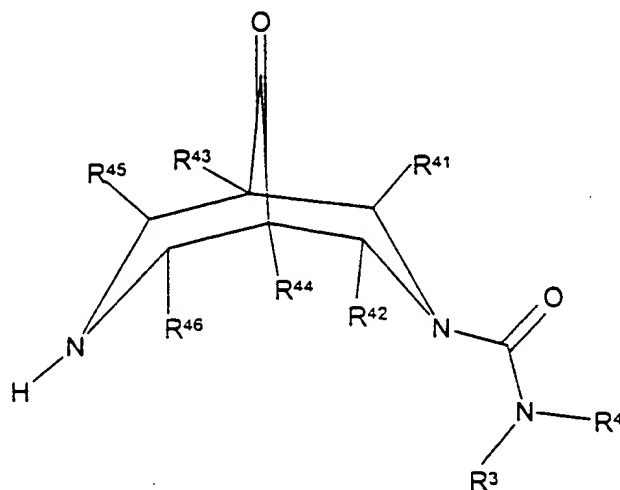
C³



XXIII

wherein R⁵, R⁶, R⁴¹, R⁴², R⁴³, R⁴⁴, R⁴⁵, R⁴⁶, A and B are as defined in Claim 1, R⁷ represents aryl or Het², all of which groups are optionally substituted and/or terminated (as appropriate) by one or more substituents selected from -OH, cyano, halo, amino, nitro, Het³, -C(O)R¹⁰, C(O)OR¹¹, C₁₋₆ alkyl, C₁₋₆ alkoxy, -N(H)S(O)₂R¹⁸, -S(O)₂R¹⁹, -OS(O)₂R²⁰, -N(H)C(O)N(H)R²¹, -C(O)N(H)R²² and/or aryl (which latter group is optionally substituted by one or more cyano groups); provided that R⁷ does not represent optionally substituted phenyl.

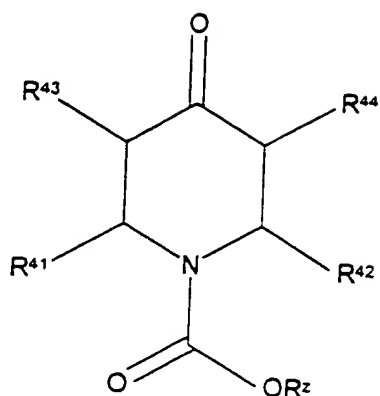
34. (Amended) A compound of formula XXV,



wherein R^3 , R^4 , R^{41} , R^{42} , R^{43} , R^{44} , R^{45} and R^{46} are as defined in Claim 1.

35. (Amended) A process for the preparation of a compound of formula X, of formula XXIII, or of formula XXV (in which, in all cases, R^{45} and R^{46} both represent H), which comprises (as appropriate) reaction of either:

(i) a compound of formula XXXV,

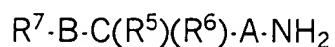


XXXV

C4 wherein R^z represents C_{1-10} alkyl or C_{1-3} alkylaryl and R^{41} , R^{42} , R^{43} and R^{44} are as defined in Claim 1, or

(ii) 4-piperidone with (as appropriate) either:

(1) a compound of formula XXXVI,



XXXVI

wherein R^5 , R^6 , R^7 , A and B are as defined in Claim 1, or

(2) NH_3 ,

in all cases in the presence of a formaldehyde and, in the case of compounds of formulae X and XXV, followed by conversion of the $C(O)OR^z$ group in the resultant intermediate to a $C(O)N(R^3)(R^4)$ group.